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(FILE 'HOME' ENTERED AT 12:30:43 ON 10 MAR 2006)

FILE 'STNGUIDE' ENTERED AT 12:30:54 ON 10 MAR 2006

FILE 'HOME' ENTERED AT 12:30:59 ON 10 MAR 2006

FILE 'REGISTRY' ENTERED AT 12:32:40 ON 10 MAR 2006

L1 1 S METHYLPHENIDATE/CN

FILE 'USPATFULL, CAPLUS, DRUGU, AGRICOLA, BIOSIS, EMBASE' ENTERED AT
12:34:03 ON 10 MAR 2006

L2 12340 S L1

L3 2070953 S PARTICLE

L4 16875 S TANNIC ACID

L5 1340647 S DISPERS?

L6 1 S L2 AND L3 AND L4 AND L5

blessing fubara

09887204

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 113-45-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Piperidineacetic acid, α -phenyl-, methyl ester (6CI, 8CI, 9CI)
(CA INDEX NAME)

OTHER NAMES:

CN α -Phenyl-2-piperidineacetic acid methyl ester

CN 4311/b Ciba

CN Calocain

CN Meridil

CN Methyl α -phenyl- α -2-piperidinylacetate

CN Methyl α -phenyl- α -2-piperidylacetate

CN Methyl phenidyl acetate

CN Methylphenidan

CN **Methylphenidate**

CN Phenidylate

FS 3D CONCORD

DR 132831-06-2

MF C14 H19 N O2

CI COM

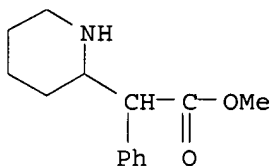
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CBNB, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DIOGENES, DRUGU, EMBASE, HSDB*, IFICDB, IFIPAT, IFIUDB, IMSPATENTS, IPA, MEDLINE, MRCK*, NIOSHTIC, PHAR, PROMT, PROUSDDR, PS, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU

(*File contains numerically searchable property data)

Other Sources: EINECS**, WHO

(**Enter CHEMLIST File for up-to-date regulatory information)

Ritalin



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1459 REFERENCES IN FILE CA (1907 TO DATE)
30 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1462 REFERENCES IN FILE CAPLUS (1907 TO DATE)
86 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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L6 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2002:102031 USPATFULL
 TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents
 INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States
 Patel, Mahesh V., Salt Lake City, UT, United States
 PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6383471	B1	20020507
APPLICATION INFO.:	US 1999-287043		19990406 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Bawa, Raj		
LEGAL REPRESENTATIVE:	Reed, Dianne E., Reed & Associates		
NUMBER OF CLAIMS:	114		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	3051		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compositions by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compositions of the invention are particularly suitable for use in oral dosage forms.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . therapeutic agent is present in an oil-in-water emulsion, a microemulsion, or a solution of micelles, liposomes, or other multi-lamellar carrier **particles**. While such approaches may be appropriate for some ionizable as well as non-ionizable hydrophobic therapeutic agents, they fail to take. . .

SUMM . . . isoascorbic acid, lactic acid, maleic acid, oxalic acid, para-bromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, **tannic acid**, tartaric acid, thioglycolic acid, toluenesulfonic acid, uric acid, and the like. Salts of polyprotic acids, such as sodium phosphate, disodium. . . lactic acid, maleic acid, methanesulfonic acid, oxalic acid, para-bromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, **tannic acid**, tartaric acid, thioglycolic acid, toluenesulfonic acid, uric acid and the like. Of course, the distinction between inorganic and organic acids. . .

SUMM . . . can be provided in the form of a solution preconcentrate; i.e., a composition as described above, and intended to be **dispersed** with water, either prior to administration, in the form of a drink, or **dispersed** in vivo. Alternatively, the compositions can be provided in the form of a diluted preconcentrate (i.e., an aqueous **dispersion**), a semi-solid **dispersion** or a solid **dispersion**. If desired, the compositions may be encapsulated in a hard or soft gelatin capsule, a starch capsule or an enteric. . .

- SUMM . . . form. For dosage forms substantially free of water, i.e., when the composition is provided in a pre-concentrated form for later **dispersion** in an aqueous system, the composition is prepared by simple mixing of the components to form a pre-concentrate. The mixing process can be aided by gentle heating, if desired. For compositions in the form of an aqueous **dispersion**, the pre-concentrate form is prepared, then the appropriate amount of purified water is added and the solution gently mixed. If. . . water-soluble additives are included, these may be added first as part of the pre-concentrate, or added later to the aqueous **dispersion**, as desired. As noted above, the hydrophobic therapeutic agent can be present in a first amount solubilized by the carrier, . . .
- CLM What is claimed is:
- . . . lactic acid, maleic acid, methanesulfonic acid, oxalic acid, parabromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, **tannic acid**, tartaric acid, thioglycolic acid, toluenesulfonic acid, or uric acid, and mixtures of any of the foregoing.
 - . . . lactic acid, maleic acid, methanesulfonic acid, oxalic acid, para-bromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, **tannic acid**, tartaric acid, thioglycolic acid, toluenesulfonic acid, uric acid, and mixtures thereof.
 - . . . composition of claim 1 having a form selected from the group consisting of a preconcentrate, a diluted preconcentrate, a semi-solid **dispersion**, a solid **dispersion**, and a sprayable solution.
- IT 50-06-6, Phenobarbital, biological studies 50-21-5, biological studies 50-21-5D, Lactic acid, glycerides 50-44-2, Mercaptopurine 50-48-6, Amitriptyline 50-52-2, Thioridazine 50-53-3, Chlorpromazine, biological studies 50-55-5, Reserpine 50-78-2 50-81-7, Ascorbic acid, biological studies 51-48-9, Levothyroxine, biological studies 51-52-5, Propylthiouracil 51-55-8, Atropine, biological studies 51-64-9, Dexamphetamine 52-86-8, Haloperidol 53-86-1, Indomethacin 54-05-7, Chloroquine 54-11-5, Nicotine 54-31-9 56-54-2, Quinidine 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid, biological studies 57-22-7, Vincristine 57-27-2, Morphine, biological studies 57-41-0, Phenytoin 57-43-2, Amylobarbitol 57-44-3, Barbitol 57-47-6, Physostigmine 57-66-9, Probenecid 57-88-5, Cholesterol, biological studies 58-14-0, Pyrimethamine 58-25-3, Chlordiazepoxide 58-32-2, Dipyridamole 58-38-8, Prochlorperazine 58-39-9, Perphenazine 58-54-8, Ethacrynic acid 58-73-1, Diphenhydramine 58-94-6, Chlorothiazide 59-05-2, Methotrexate 59-66-5, Acetazolamide 59-87-0, Nitrofurazone 59-96-1, Phenoxybenzamine 61-56-3, Sulthiame 61-68-7, Mefenamic acid 61-72-3, Cloxacillin 64-18-6, Formic acid, biological studies 64-19-7, Acetic acid, biological studies 64-77-7, Tolbutamide 65-85-0, Benzoic acid, biological studies 66-76-2, Dicumarol 66-79-5, Oxacillin 67-20-9, Nitrofurantoin 68-04-2, Sodium Citrate 68-11-1, Thioglycolic acid, biological studies 68-35-9, Sulfadiazine 69-23-8, Fluphenazine 69-72-7, biological studies 69-93-2, Uric acid, biological studies 72-44-6, Methaqualone 72-69-5, Nortriptyline 74-55-5, Ethambutol 75-75-2, Methanesulfonic acid 76-57-3, Codeine 76-74-4, Pentobarbital 76-99-3, Methadone 77-28-1, Butobarbital 77-36-1, Chlorthalidone 77-86-1, Tromethamine 77-92-9, biological studies 79-09-4, Propanoic acid, biological studies 79-10-7, Acrylic acid, biological studies 82-92-8, Cyclizine 83-68-1,

Vitamin K6 83-69-2, Vitamin K7 83-70-5, Vitamin K5 83-89-6,
 Mepacrine 86-21-5, Pheniramine 86-22-6, Brompheniramine 86-35-1,
 Ethotoin 86-42-0, Amodiaquine 87-69-4, biological studies 89-57-6,
 Mesalamine 89-65-6, Isoascorbic acid 90-82-4, Pseudoephedrine
 90-84-6, Diethylpropion 94-20-2, Chlorpropamide 97-23-4, Dichlorophen
 99-66-1, Valproic acid 101-31-5, Hyoscyamine 102-71-6, biological
 studies 104-15-4, p-Toluenesulfonic acid, biological studies
 107-15-3, 1,2-Ethanediamine, biological studies 107-92-6, Butyric acid,
 biological studies 110-15-6, Butanedioic acid, biological studies
 110-16-7, 2-Butenedioic acid (2Z)-, biological studies 110-17-8,
 Fumaric acid, biological studies 110-27-0, Isopropyl myristate
 111-03-5, Glyceryl monooleate 111-62-6, Ethyl Oleate 111-90-0,
 Transcutol 112-80-1, Oleic acid, biological studies 113-15-5,
 Ergotamine 113-45-1, Methylphenidate 113-59-7,
 Chlorprothixene 113-92-8 114-07-8, Erythromycin 115-38-8,
 Methylphenobarbital 117-89-5, Trifluoperazine 121-44-8, biological
 studies 122-09-8, Phentermine 122-20-3, Triisopropanolamine
 124-04-9, Hexanedioic acid, biological studies 125-28-0, Dihydrocodeine
 125-53-1, Oxypheycyclimine 125-84-8, Aminoglutethimide 127-09-3,
 Sodium Acetate 127-33-3, Demeclocycline 127-69-5, Sulfafurazole
 127-71-9, Sulfabenzamide 127-79-7, Sulfamerazine 128-13-2,
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 studies 129-03-3, Cyproheptadine 129-20-4, Oxyphenbutazone
 130-95-0, Quinine 132-17-2, Benztropine 138-36-3,
 p-Bromophenylsulfonic acid 139-33-3, Edetate Disodium 141-43-5,
 biological studies 142-18-7, Glyceryl monolaurate 142-91-6, Isopropyl
 palmitate 143-07-7, Lauric acid, biological studies 144-11-6,
 Benzhexol 144-55-8, Sodium hydrogen carbonate, biological studies
 144-62-7, Ethanedioic acid, biological studies 144-80-9, Sulfacetamide
 144-83-2, Sulfapyridine 145-42-6, Taurocholic acid, sodium salt
 146-22-5, Nitrazepam 146-54-3, Fluopromazine 148-79-8, Thiabendazole
 151-21-3, Sodium Dodecyl Sulfate, biological studies 154-42-7,
 Thioguanine 190-39-6, Bisanthene 288-14-2, Isoxazole 298-57-7,
 Cinnarizine 299-42-3, Ephedrine 300-62-9, Amphetamine 302-79-4,
 Tretinoin 305-03-3, Chlorambucil 321-64-2, Tacrine 359-83-1,
 Pentazocine 361-37-5, Methysergide 364-62-5, Metoclopramide
 389-08-2 396-01-0, Triamterene 404-86-4, Capsaicin 437-38-7,
 Fentanyl 439-14-5, Diazepam 442-52-4, Clemizole 443-48-1,
 Metronidazole 446-86-6, Azathioprine 458-24-2, Fenfluramine
 463-79-6, Carbonic acid, biological studies 471-34-1, Calcium
 carbonate, biological studies 486-16-8, Carbinoxamine 500-92-5,
 Proguanil 511-12-6, Dihydroergotamine 514-65-8, Biperiden 519-23-3,
 Ellipticine 522-00-9, Ethopropazine 523-87-5, Dimenhydrinate
 525-66-6 526-95-4, D-Gluconic acid 536-33-4, Ethionamide 537-21-3,
 Chlorproguanil 544-35-4, Ethyl linoleate 544-63-8, Myristic acid,
 biological studies 548-73-2, Droperidol 561-27-3, Diamorphine
 564-25-0, Doxycycline 569-65-3, Meclozine 577-11-7, Docusate sodium
 599-79-1, Sulfasalazine 603-50-9, Bisacodyl 604-75-1, Oxazepam
 631-61-8, Ammonium Acetate 644-62-2, Meclofenamic acid 657-24-9,
 Metformin 668-94-0, 4,5-Diphenylimidazole 671-16-9, Procarbazine
 723-46-6, Sulfamethoxazole 738-70-5, Trimethoprim 739-71-9,
 Trimipramine 745-65-3, Alprostadil 768-94-5, Amantadine 846-49-1,
 Lorazepam 846-50-4, Temazepam 848-75-9, Lormetazepam 865-21-4,
 Vinblastine 911-45-5, Clomiphene 915-30-0, Diphenoxylate 961-71-7,
 Phenbenzamine 968-81-0, Acetohexamide 1134-47-0, Baclofen
 1156-19-0, Tolazamide 1309-42-8, Magnesium hydroxide 1310-58-3,
 Potassium Hydroxide, biological studies 1310-73-2, Sodium Hydroxide,
 biological studies 1327-43-1, Magnesium aluminum silicate 1330-80-9,
 Propylene glycol oleate 1333-28-4, Undecenoic acid 1335-30-4,

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Aluminum silicate 1336-21-6, Ammonium Hydroxide 1338-39-2, Sorbitan
monolaurate 1338-41-6, Sorbitan monostearate 1338-43-8, Sorbitan
monooleate 1400-61-9, Nystatin 1404-90-6, Vancomycin 1406-05-9,
Penicillin 1508-75-4, Tropicamide 1553-60-2, Ibuprofen 1622-61-3,
Clonazepam 1622-62-4, Flunitrazepam 1812-30-2, Bromazepam
1951-25-3, Amiodarone 1972-08-3, Dronabinol 2022-85-7, Flucytosine
2030-63-9, Clofazimine 2062-78-4, Pimozide 2078-54-8, Propofol
2447-57-6, Sulfadoxine 2487-39-0, Vitamin K-S (II) 2515-61-9,
1,5-Diphenylpyrazoline 2609-46-3, Amiloride 2709-56-0, Flupentixol
(pharmaceutical compns. containing hydrophobic therapeutic agents and
carriers containing ionizing agents and surfactants and triglycerides)

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WEST Search History

DATE: Friday, March 10, 2006

Hide?	<u>Set</u> <u>Name</u>	<u>Query</u>	<u>Hit</u> <u>Count</u>
		<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L43	L42 and l32	25
<input type="checkbox"/>	L42	l8 and l7	38
<input type="checkbox"/>	L41	L40 and l8 and l10 and l32	117
<input type="checkbox"/>	L40	l37 and (l38 or l39)	9534
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<input type="checkbox"/>	L38	carbopol	13073
<input type="checkbox"/>	L37	hydroxypropylmethylcellulose or hydroxypropyl methylcellulose or hydroxy propyl methyl cellulose or hydroxypropyl methyl cellulose or hydroxy propylmethyl cellulose	31584
<input type="checkbox"/>	L36	l34 and l24	85
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<input type="checkbox"/>	L32	l3 or l18	1876469
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<input type="checkbox"/>	L26	L25 and l7	22
<input type="checkbox"/>	L25	L24 and (l18 or l3)	1158
<input type="checkbox"/>	L24	L23 and l8	1527
<input type="checkbox"/>	L23	coat\$3	2348346
<input type="checkbox"/>	L22	arabinogalactan or carboxymethylcellulose or gelatin or gum arabic or hydroxyethylcellulose or methylcellulose or polyvinyl alcohol or polyacrylic acid or starch or water insoluble resin or cellulose nitrate or ethyl cellulose or Ethocel or cellulose nitrate or polyamide or polyethylene or polyethylene-vinyl acetate or polylactide-co-glycolide or polymethacrylate or (Eudragit adj NE!) or (Eudragit adj RS!) or (Eudragit adj RL!) or (Eudragit adj L!) or (Eudragit adj S!) or silicone or wax or lipid or paraffin or carnauba wax or spermaceti or beeswax or stearic acid or stearyl alcohol or glyceryl stearate or enteric resin or cellulose acetate phthalate or polyvinyl acetate or hydroxypropyl methylcellulose acetate	1798666
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<input type="checkbox"/>	L20	L19 and l10	161

<input type="checkbox"/>	L19	L18 and l8	756
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<input type="checkbox"/>	L17	L16 and l7	9
<input type="checkbox"/>	L16	L15 and l6	84
<input type="checkbox"/>	L15	L14 and l10	235
<input type="checkbox"/>	L14	l8 and l3	1181
<input type="checkbox"/>	L13	L12 and l8	10
<input type="checkbox"/>	L12	L11 and l7	52
<input type="checkbox"/>	L11	L10 and l6	1129
<input type="checkbox"/>	L10	L9 or l5	10408
<input type="checkbox"/>	L9	superdisintegrant	127
<input type="checkbox"/>	L8	l1 or l2	2391
<input type="checkbox"/>	L7	tannic acid	9379
<input type="checkbox"/>	L6	hydrogel	42186
<input type="checkbox"/>	L5	cross-linked carboxymethylcellulose sodium or sodium starch glycolate or cross-linked polyvinylpyrrolidone or primlose or ac-di-sol or crospovidone or explotab	10379
<input type="checkbox"/>	L4	20040234608.pn.	2
<input type="checkbox"/>	L3	particle	1580902
<input type="checkbox"/>	L2	methylphenidate	2110
<input type="checkbox"/>	L1	ritalin	465

END OF SEARCH HISTORY